L8 ANSWER 1 OF 6 USPATFULL on STN

ACCESSION NUMBER: 1999:99651 USPATFULL

TITLE: Use of Epinastine for the treatment of pain

INVENTOR(S): Jung, Birgit, Schwabenheim, Germany, Federal Republic

of

Meade, Christopher John Montague, Bingen, Germany,

Federal Republic of

Pairet, Michel, Biberach, Germany, Federal Republic of

PATENT ASSIGNEE(S): Boehringer Indelheim KG, Ingelhiem, Germany, Federal

Republic of (non-U.S. corporation)

	NUMBER	KIND DATE	
DAMENIT TARODMATION.	TIC E042E02	10000034	
PATENT INFORMATION:	US 5942503	19990824	<
	WO 9717971	19970522	· · · · · · · · · · · · · · · · · · ·
APPLICATION INFO.:	US 1998-66392	19980609	(9)
	WO 1996-EP4957	19961113	
		19980609	PCT 371 date
		19980609	PCT 102(e) date

NUMBER DATE

PRIORITY INFORMATION: DE 1995-19542281 19951114

DOCUMENT TYPE: Utility FILE SEGMENT: Granted

PRIMARY EXAMINER: Jarvis, William R. A.

LEGAL REPRESENTATIVE: Raymond, R. P., Devlin, M-E. M., Stempel, A. R.

NUMBER OF CLAIMS: 11
EXEMPLARY CLAIM: 1
LINE COUNT: 401

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

PI US 5942503 19990824 <--

WO 9717971 19970522 <--

SUMM . . . symptom. In most cases the headache is of short duration and can readily be controlled by weak analgesics such as **aspirin**, paracetamol or ibuprofen. Such headache is bothersome but does not lead to any significant impairment of health. By contrast, chronic. . .

SUMM . . . high degree of safety for particular patient groups such as children, and patients with reduced liver or kidney function, or cardiovascular disease.

DETD When dosed as a tablet or suppository the single dose for adults lies between 5 and 200 mg, with the preferred dose between 10 and 50 mg. For inhalation single doses between 0.05 and 20 mg, preferably between 0.2 and 5 mg are administered. For parenteral injection the single dose lies between 0.1 and 50 mg with a preferred dose between 0.5 and 20 mg. The cited

doses may if.

Particularly preferred and advantageous appears to be the combination of epinastine with other therapeutic agents, for example aspirin, paracetamol, non-steroidal anti-inflammatory drugs (NSAID) such as ibuprofen, meloxicam, indomethacin or naproxen; 5HT.sub.1D agonists such as sumatriptan, MK-462, naratriptan or. . . such as ergotamine, dihydroergotamine or metergoline; clonidine; methysergide; dotarizine; lisuride; pizotifen; valproic acid; aminotryptiline; beta blockers such as propanolol or metoprolol; calcium channel antagonists such as flunarizine or lomerizine, or neurokinin antagonists. Such a combination, either in a single dosage form or in separate forms able to be administered sequentially or substantially simultaneously, comprises a further feature of the invention.

CLM What is claimed is:

. wherein the further analgesic used is an NSAID, a 5HT.sub.1D -agonist, a dopamine D.sub.2 receptor antagonist, an ergot alkaloid, a

beta blocker, a calcium channel blocker or a neurokinin antagonist.

- 9. The method according to claim 4, in which the betablocker is propoanolol or metoprolol.
- 11. The method according to claim 3, in which the analgesia-producing agent that is combined is aspirin, paracetamol, clonidine, methysergide, dotarizine, lisuride, pizotifen, valproic acid, aminotryptiline, CP-122,288 or UK 116,044.

ANSWER 2 OF 6 USPATFULL on STN

ACCESSION NUMBER: 1998:124584 USPATFULL

TITLE: Treatment for atherosclerosis and other cardiovascular

and inflammatory diseases

Medford, Russell M., Atlanta, GA, United States INVENTOR(S):

> Offermann, Margaret K., Atlanta, GA, United States Alexander, R. Wayne, Atlanta, GA, United States Parthasarathy, Sampath, Atlanta, GA, United States

PATENT ASSIGNEE(S): Emory University, Atlanta, GA, United States (U.S.

corporation)

NUMBER	KIND	DATE

PATENT INFORMATION: US 5821260 19981013 <--

US 1995-485307 APPLICATION INFO.: 19950607 (8)

Division of Ser. No. US 1994-240858, filed on 10 May RELATED APPLN. INFO.:

1994, now abandoned which is a continuation-in-part of Ser. No. US 1992-969934, filed on 30 Oct 1992, now

patented, Pat. No. US 5380747

Utility DOCUMENT TYPE: FILE SEGMENT: Granted

PRIMARY EXAMINER: O'Sullivan, Peter

LEGAL REPRESENTATIVE: Knowles, Sherry M., Haley, JacquelineKing & Spalding

NUMBER OF CLAIMS: 16 EXEMPLARY CLAIM: 1

NUMBER OF DRAWINGS: 16 Drawing Figure(s); 8 Drawing Page(s)

LINE COUNT: 1413

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

PΙ US 5821260 19981013

AB . block the induced expression of the endothelial cell surface adhesion molecule VCAM-1, and are therefor useful in the treatment of cardiovascular disease, including atherosclerosis, post-angioplasty restenosis, coronary artery diseases, and angina, as

well as noncardiovascular inflammatory diseases that are mediated by VCAM-1.

SUMM Current therapies for cardiovascular disease, and in particular, atherosclerosis do not treat the cause of the disease, but instead treat the symptoms of the disease. . . associated with the disease. Pharmaceutical agents prescribed for these conditions include lipid lowering agents such as probucol and nicotinic acid;

aspirin (which prevents platelets from sticking); antithrombotic agents such as coumadin; calcium channel blockers such as varapamil, diltiazem, and nifedipine; angiotensin.

SUMM Given that cardiovascular disease is currently the leading cause of death in the United States, and ninety percent of cardiovascular disease is presently diagnosed as atherosclerosis, there is a strong need to identify new methods and pharmaceutical agents for its treatment.

SUMM The compounds described herein are useful in both the primary and adjunctive medical treatment of cardiovascular disease

. The compounds are used in primary treatment of, for example, coronary

disease states including atherosclerosis, post-angioplasty restenosis, coronary artery diseases,. . .

SUMM . . . to reduce the risk of disease by lowering LDL and serum cholesterol. The method represents a significant advance in treating cardiovascular disease, in that it goes beyond the current therapies designed simply to inhibit the progression of the disease, and when used. . .

SUMM . . . from once every other day to twice to several times a day. The length of dosing will range from a **single dose** given only once to twice daily dosages given over the course of two to six months.

The active compounds can be administered in conjunction with other medications used in the treatment of cardiovascular disease, including lipid lowering agents such as probucol and nicotinic acid; platelet aggregation inhibitors such as aspirin; antithrombotic agents such as coumadin; calcium channel blockers such as varapamil, diltiazem, and nifedipine; angiotensin converting enzyme (ACE) inhibitors such. . .

DETD . . . B will also have an important effect on the tissue-distribution and pharmacokinetics of the compound. In general, for treatment of cardiovascular disease, it is desirable that the compound accumulate, or localize, in the arterial intimal layer containing the vascular endothelial cells. The. . .

DETD . . . the treatment, cure, or prevention of a disease or disorder.

Nonlimiting examples are drugs for the treatment or prevention of

cardiovascular disease, including antioxidants such as

probucol; nicotinic acid; agents that prevent platelets from sticking,

such as aspirin; antithrombotic agents such as coumadin;

calcium channel blockers such as varapamil, diltiazem, and nifedipine;

angiotensin converting enzyme (ACE) inhibitors such. . .

DETD . . . The compound should not compartmentalize in low turnover regions such as fat deposits. In a preferred embodiment for treatment of cardiovascular disease, the pharmacokinetics of the compound should not be dramatically affected by congestive heart failure or renal insufficiency.

DETD . . . which specifically includes the use of any of the above-described compounds to treat atherosclerosis, and other types of inflammation and cardiovascular disease mediated by VCAM-1. Any of the compounds described above can be easily substituted for PDTC and evaluated in similar fashion.

. . . active compounds can be administered with lipid lowering agents such as probucol and nicotinic acid; platelet aggregation inhibitors such as aspirin; antithrombotic agents such as coumadin; calcium channel blockers such as varapamil, diltiazem, and nifedipine; angiotensin converting enzyme (ACE) inhibitors such. . . as propanalol, terbutalol, and labetalol. The compounds can also be administered in combination with nonsteroidal antiinflammatories such as ibuprofen, indomethacin, aspirin, fenoprofen, mefenamic acid, flufenamic acid, sulindac. The compound can also be administered with corticosteriods.

CLM What is claimed is:

- 1. A method for the treatment of a **cardiovascular disease** in humans comprising administering an effective amount of a dithiocarbamate of the formula A--SC(S)--B; wherein A is selected from the. . .
- 8. The method of claim 1, wherein the cardiovascular disease is atherosclerosis.
- 9. The method of claim 1, wherein the cardiovascular disease is post-angioplasty restenosis.
- 10. The method of claim 1, wherein the cardiovascular disease is coronary artery disease.

DETD

- 11. The method of claim 1, wherein the cardiovascular disease is angina.
- 12. The method of claim 1, wherein the cardiovascular disease is a small vessel disease.

of a, a platelet aggregation inhibitor, an antithrombotic agent, a calcium channel blocker, an angiotensin converting enzyme (ACE) inhibitor, a .beta.-blocker, a nonsteroidal antiinflammatory, and a corticosteroid.

16. A method for the treatment of a cardiovascular disease in humans comprising administering an effective amount of a dithiocarbamate of the formula B--C(S)S--SC(S)--B wherein B is selected form the. .

ANSWER 3 OF 6 USPATFULL on STN

ACCESSION NUMBER: 93:78774 USPATFULL

Thiadiazinones for stimulating cardiac activity TITLE:

Coates, William J., Welwyn Garden City, England INVENTOR(S): PATENT ASSIGNEE(S): Smith Kline & French Laboratories Limited, Welwyn

Garden City, England (non-U.S. corporation)

NUMBÉR KIND DATE \_\_\_\_\_\_

US 5246928 19930921 US 1991-727774 19910710 (7) PATENT INFORMATION:

PAIENT INFORMATION:
APPLICATION INFO.:

Division of Ser. No. US 1989-452072, filed on 18 Dec RELATED APPLN. INFO.:

1989, now patented, Pat. No. US 5066653 which is a division of Ser. No. US 1986-918425, filed on 14 Oct 1986, now patented, Pat. No. US 4906628, issued on 6

Mar 1990

DOCUMENT TYPE: Utility FILE SEGMENT: Granted

PRIMARY EXAMINER: Shah, Mukund J.
ASSISTANT EXAMINER: Grumbling, Matthew V.

LEGAL REPRESENTATIVE: McCarthy, Mary E., Venetianer, Stephen, Lentz, Edward

NUMBER OF CLAIMS: 13 EXEMPLARY CLAIM: 1 1066. LINE COUNT:

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

PΙ

US 5246928 19930921 SUMM . . . beneficial. Thus the compounds of this invention are positive

inotropic agents and vasodilators and are therefore of value in

combatting cardiovascular disease, in particular

congestive heart failure. In addition the compounds of this invention inhibit platelet aggregation and therefore have an antithrombotic. .

SUMM . . dosage form, for example a tablet, capsule or metered aerosol dose, so that the patient may administer to himself a single

dose.

DETD In anaesthetised cats pretreated with a ganglion blocker (mecamylamine or pempidine) and propranolol, the compounds of the Examples cause increases in left ventricular dp/dt max (this is an index of left

ventricular contractility).

DETD Aspirin was added to a concentration of 100  $\mu M$ . DETD The compound of Example 1 inhibited aggregation induced by the endoperoxide mimetic U44069 (10 µM) in aspirin-treated platelet rich plasma with an IC.sub.50 value of  $0.08\pm0.01~\mu M$ .

ANSWER 4 OF 6 USPATFULL on STN

ACCESSION NUMBER: 91:94551 USPATFULL

TITLE: Chemical compounds

Coates, William J., Welwyn Garden City, England INVENTOR(S): PATENT ASSIGNEE(S): Smith Kline & French Laboratories Limited, Welwyn

Garden City, England (non-U.S. corporation)

NUMBER KIND DATE \_\_\_\_\_\_

PATENT INFORMATION: US 5066653 19911119 APPLICATION INFO.: US 1989-452072 19891218 (7)

APPLICATION INFO.:

RELATED APPLN. INFO.: Division of Ser. No. US 1986-918425, filed on 14 Oct

1986, now patented, Pat. No. US 4906628

NUMBER DATE

-----PRIORITY INFORMATION:

GB 1985-25654 19851017 GB 1986-1667 19860123

DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Berch, Mark L.

LEGAL REPRESENTATIVE: McCarthy, Mary E., Suter, Stuart R., Lentz, Edward T.

NUMBER OF CLAIMS: 10
EXEMPLARY CLAIM: 1,7,8,9,10
LINE COUNT: 1044

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

19911119

SUMM . . . beneficial. Thus the compounds of this invention are positive

inotropic agents and vasodilators and are therefore of value in

combatting cardiovascular disease, in particular

congestive heart failure. In addition the compounds of this invention inhibit platelet aggregation and therefore have an antithrombotic. .

. . dosage form, for example a tablet, capsule or metered aerosol

dose, so that the patient may administer to himself a single

SUMM

DETD In anaesthetised cats pretreated with a ganglion blocker (mecamylamine or pempidine) and propranolol, the compounds of the Examples

cause increases in left ventricular dp/dt max (this is an index of left ventricular contractility).

DETD Aspirin was added to a concentration of 100  $\mu M$ .

ANSWER 5 OF 6 USPATFULL on STN

ACCESSION NUMBER: 90:17677 USPATFULL

TITLE: N-phenylpyridone type III phosphodiesterases INVENTOR(S): Coates, William J., Welwyn Garden City, England PATENT ASSIGNEE(S): Smith Kline & French Laboratories Limited, Welwyn

Garden City, England (non-U.S. corporation)

NUMBER KIND DATE -----

PATENT INFORMATION: US 4906628 US 1986-918425 19900306

19861014 (6) APPLICATION INFO.:

> NUMBER DATE

-----PRIORITY INFORMATION: GB 1985-25654 19851017 GB 1986-1667 19860123

DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Berch, Mark L.

LEGAL REPRESENTATIVE: McCarthy, Mary E., Suter, Stuart R., Lourie, Alan D.

NUMBER OF CLAIMS: 13 EXEMPLARY CLAIM: 1,5,12 LINE COUNT: 1059

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

Pľ US 4906628 19900306 SUMM . . beneficial. Thus the compounds of this invention are positive inotropic agents and vasodilators and are therefore of value in combatting cardiovascular disease, in particular congestive heart failure. In addition the compounds of this invention inhibit platelet aggregation and therefore have an antithrombotic. DETD . . . dosage form, for example a tablet, capsule or metered aerosol dose, so that the patient may administer to himself a single dose. DETD In anaesthetised cats pretreated with a ganglion blocker (mecamylamine or pempidine) and propranolol, the compounds of the Examples cause increases in left ventricular dp/dt max (this is an index of left ventricular contractility). DETD **Aspirin** was added to a concentration of 100  $\mu$ M. ANSWER 6 OF 6 USPATFULL on STN ACCESSION NUMBER: 89:5927 USPATFULL Sustained release method and product TITLE: INVENTOR (S): Hom, Foo S., Safety Harbor, FL, United States Ebert, William R., St. Petersburg, FL, United States PATENT ASSIGNEE(S): R. P. Scherer Corporation, Troy, MI, United States (U.S. corporation) NUMBER KIND DATE PATENT INFORMATION: APPLICATION INFO.: US 4800083 19890124 US 1986-921069 19861020 (6) <--DISCLAIMER DATE: 20010131 DOCUMENT TYPE: Utility FILE SEGMENT: Granted PRIMARY EXAMINER: Rose, Shep K.
LEGAL REPRESENTATIVE: Alllegretti & Witcoff, Ltd. NUMBER OF CLAIMS: 49 EXEMPLARY CLAIM: NUMBER OF DRAWINGS: 7 Drawing Figure(s); 3 Drawing Page(s) LINE COUNT: 1338 CAS INDEXING IS AVAILABLE FOR THIS PATENT. US 4800083 19890124 . . include quinidine sulfate (such as in Quinidex Extentabs by A. DETD H. Robins), nitroglycerin (such as Nitrostat SR capsules by Parke-Davis), propranolol hydrochloride (such as inderal by Ayerst), and nifedipine (such as in Procardia by Pfizer). Suitable antiasthmatics or antitussives include theophylline. . . as Hydro Diuril tablets by Merck, Sharp & Dohme). Analgesics and antipyretics useful in our sustained release drug form include aspirin and indomethacin (such as Indocin SR capsules by Merck Sharp & Dohme). Suitable antimotion sickness and antinauseants include meclizine hydrochloride. DETD . for example, some drugs may cause nausea or bleeding by irritation of the gastric mucosa. Examples of such drugs are aspirin and steroids. Useful enteric coatings in manufacturing our coated drug forms include hydroxypropylmethylcellulose phthalate. cellulose acetate phthalate, polyvinyl acetate phthalate, . . . DETD . . sustained release dosage formulation of the present invention as compared to an immediately released theophylline product following administration of a single dose. The capsules utilized were the 300 milligram sustained release theopylline capsule as described above having a preferred fill material of. DETD . . . and a weight of greater than or equal to 125 lbs.; (c) no history of serious hepatic, renal, gastrointestinal or cardiovascular disease, alcohol or drug abuse, as evidenced by a medical history, physical examination and vital signs within 30 days prior to. .

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DETD
                                          TABLE I
Comparison of AUC's for Human Subjects Receiving a Single
       Dose, 300 mg,
of Elixir of Theophylline
(Berlex Labs. Inc.) and Sustained Release Capsules of Theophylline (R.P.
Scherer)
          Subject
Sample
                          7.
DETD
       The single dose study of Example I served as the
       pre-test dose evaluation for the multiple dose, steady state study. The
       subjects were.
DETD
       Dissolution studies were performed on soft gelatin capsules containing a
       fill material made in accordance with the invention and containing
       Propranolol HCl as set forth below. The shell formulation was
       conventional and was composed of gelatin and glycerin, in major
       proportion,.
DETD
                      mg/Capsule
Fill Ingredients
  Propranolol HCl (Cardiovascular/
Antihypertensive Drug)
Chewing Gum Base
                      210
Wecobee M**
                      200
Purified Stearic Acid
                       70
Neutral Oil
                       30
                      630
DISSOLUTION (N = 6)
TIME, hr
              MEAN.
CLM
       What is claimed is:
       13. The capsule of claim 1 wherein said medicament is
       propranolol.
       27. The method of claim 15 wherein said medicament is
       propranolol.
       38. The capsule of claim 29 wherein the medicament is
       propranolol.
       48. The method of claim 40 wherein said medicament is
       propranolol.
=> d his
     (FILE 'HOME' ENTERED AT 13:49:11 ON 21 DEC 2005)
     FILE 'STNGUIDE' ENTERED AT 13:52:37 ON 21 DEC 2005
     FILE 'HOME' ENTERED AT 13:52:42 ON 21 DEC 2005
     FILE 'ADISCTI, ADISINSIGHT, ADISNEWS, BIOSIS, BIOTECHNO, CAPLUS, DDFB,
     DGENE, DISSABS, DRUGB, DRUGMONOG2, DRUGU, EMBAL, EMBASE, ESBIOBASE,
     IFIPAT, IMSDRUGNEWS, IMSPRODUCT, IPA, JICST-EPLUS, KOSMET, LIFESCI,
     MEDLINE, NAPRALERT, NLDB, NUTRACEUT, PASCAL, ...' ENTERED AT 13:52:53 ON
     21 DEC 2005
L1
        1040713 S CARDIOVASCULAR DISEASE
```

470962 S BETA BLOCKER OR PROPRANOLOL OR TIMOLOL OR METOPROLOL OR PINDO

296487 S PLATELET INHIBITOR OR ASPIRIN

Jagoe

L2L3

## 10/828797

L4	980 S L1 AND L2 AND L3
L5	880 DUP REM L4 (100 DUPLICATES REMOVED)
L6	879 S L5 AND ASPIRIN
L7	121 S L6 AND SINGLE DOS?
L8	6 S L7 AND PD<2000